

TRAITE DE COOPERATION EN MATIERE DE BREVETS

PCT



REC'D 21 JUL 2004

RAPPORT D'EXAMEN PRELIMINAIRE INTERNATIONAL

(article 36 et règle 70 du PCT)

WIPO PCT

16 DEC 2004

Référence du dossier du déposant ou du mandataire		POUR SUITE A DONNER voir la notification de transmission du rapport d'examen préliminaire international (formulaire PCT/PEA/416)	
Demande internationale No. PCT/FR 03/01813		Date du dépôt international (jour/mois/année) 16.06.2003	Date de priorité (jour/mois/année) 18.06.2002
Classification internationale des brevets (CIB) ou à la fois classification nationale et CIB C07D217/02			
Déposant SANOFI-SYNTHELABO et al.			
<p>1. Le présent rapport d'examen préliminaire international, établi par l'administration chargée de l'examen préliminaire international, est transmis au déposant conformément à l'article 36.</p> <p>2. Ce RAPPORT comprend 4 feuilles, y compris la présente feuille de couverture.</p> <p><input type="checkbox"/> Il est accompagné d'ANNEXES, c'est-à-dire de feuilles de la description, des revendications ou des dessins qui ont été modifiées et qui servent de base au présent rapport ou de feuilles contenant des rectifications faites auprès de l'administration chargée de l'examen préliminaire international (voir la règle 70.16 et l'instruction 607 des Instructions administratives du PCT).</p> <p>Ces annexes comprennent feuilles.</p>			
<p>3. Le présent rapport contient des indications et les pages correspondantes relatives aux points suivants :</p> <p>I <input checked="" type="checkbox"/> Base de l'opinion</p> <p>II <input type="checkbox"/> Priorité</p> <p>III <input type="checkbox"/> Absence de formulation d'opinion quant à la nouveauté, l'activité inventive et la possibilité d'application industrielle</p> <p>IV <input type="checkbox"/> Absence d'unité de l'invention</p> <p>V <input checked="" type="checkbox"/> Déclaration motivée selon la règle 66.2(a)(ii) quant à la nouveauté, l'activité inventive et la possibilité d'application industrielle; citations et explications à l'appui de cette déclaration</p> <p>VI <input type="checkbox"/> Certains documents cités</p> <p>VII <input type="checkbox"/> Irrégularités dans la demande internationale</p> <p>VIII <input type="checkbox"/> Observations relatives à la demande internationale</p>			
Date de présentation de la demande d'examen préliminaire internationale 13.01.2004		Date d'achèvement du présent rapport 20.07.2004	
Norm et adresse postale de l'administration chargée de l'examen préliminaire international  Office européen des brevets D-80298 Munich Tél. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Fonctionnaire autorisé Mathys, E N° de téléphone +49 89 2399-8596 	

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**RAPPORT D'EXAMEN
PRÉLIMINAIRE INTERNATIONAL**

Demande internationale n° **PCT/FR 03/01813**

6. Observations complémentaires, le cas échéant :

V. Déclaration motivée selon l'article 35(2) quant à la nouveauté, l'activité inventive et la possibilité d'application industrielle; citations et explications à l'appui de cette déclaration

1. Déclaration

Nouveauté	Oui:	Revendications	1-15
	Non:	Revendications	
Activité inventive	Oui:	Revendications	
	Non:	Revendications	1-15
Possibilité d'application industrielle	Oui:	Revendications	1-15
	Non:	Revendications	

2. Citations et explications

voir feuille séparée

SECTION V

Il est fait référence aux documents suivants:

D1: US-A-4 544 657

D2: WO-A-01/29026

D3: FR-A-2 736 053

D4: WO-A-01/55150

D5: JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY., vol. 41, no. 5, 1998, pages 674-681

Nouveauté

Les composés présents se différencient des composés divulgués par D1 et D4 au moins en ayant le diazohétérocycle et l'(iso)quinoléine liés par un groupe éthylène ou propylène au lieu de méthylène ou une liaison directe, des composés divulgués par D2 et D3 en ayant au moins le groupe tétrahydro-pyridine remplacé par un diazohétérocycle. D5 ne divulgue pas de composés ayant de groupe (iso)quinoléine.

Activité inventive

Sous le point de vue du problème adressé (de mettre à la disposition des analgésiques) et de la structure des composés revendiqués D2 représente l'état de la technique le plus proche. Les composés présents ne se différencient des analgésiques connus de D2 que par le remplacement du groupe tétrahydro-pyridine par un diazohétérocycle comme défini sous le symbole W. La qualification de telles restes en analgésiques est connue de D4 et de D5. On pouvait donc attendre que ladite présente modification résulterait en des composés ayant des propriétés similaires que celles des composés divulgués par D2

L'objet de la présente demande n'implique donc pas une activité inventive et ne satisfait ainsi pas aux exigences de l'article 33(3) PCT.

Une activité inventive pourrait cependant être reconnue s'il était montré par des essais comparatifs que les composés présents ont une propriété surprenante (c'est-à-dire résolvent d'une manière surprenante un problème posé pas encore résolu) vis-à-vis les composés connus de D2.

translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

PCT/FR2003/001813

16 DEC 2004

Applicant's or agent's file reference	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/FR2003/001813	International filing date (day/month/year) 16 juin 2003 (16.06.2003)	Priority date (day/month/year) 18 juin 2002 (18.06.2002)
International Patent Classification (IPC) or national classification and IPC C07D 217/02		
Applicant SANOFI-AVENTIS		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 4 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of _____ sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 13 janvier 2004 (13.01.2004)	Date of completion of this report 20 July 2004 (20.07.2004)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International Application No.

PCT/FR2003/001813

I. Basis of the report

1. With regard to the elements of the international application:*

☐ the international application as originally filed

☒ the description:
 pages _____ 1-13 _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____

☒ the claims:
 pages _____ 1-15 _____, as originally filed
 pages _____, as amended (together with any statement under Article 19
 pages _____, filed with the demand
 pages _____, filed with the letter of _____

☐ the drawings:
 pages _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____

☐ the sequence listing part of the description:
 pages _____, as originally filed
 pages _____, filed with the demand
 pages _____, filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item. These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
☐ the claims, Nos. _____
☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/FR 97/01813

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-15	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-15	NO
Industrial applicability (IA)	Claims	1-15	YES
	Claims		NO

2. Citations and explanations

Reference is made to the following documents:

D1: US-A-4 544 657;

D2: WO-A-01/29026;

D3: FR-A-2 736 053;

D4: WO-A-01/55150;

D5: JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN
CHEMICAL SOCIETY, vol. 41, no. 5, 1998, pages
674-681.

Novelty

The present compounds differ from the compounds disclosed in D1 and D4 at least in that the diazoheterocycle and the (iso)quinoline are bonded by an ethylene or propylene group instead of methylene or a direct bond, and from the compounds disclosed in D2 and D3 in that at least the tetrahydro-pyridine group is replaced with a diazoheterocycle. D5 does not disclose any compounds with an (iso)quinoline group.

Inventive step

In view of the problem addressed (that of providing analgesics) and the structure of the claimed compounds, D2 is the closest prior art. The present compounds differ from the analgesics known from D2 only in that the tetrahydro-pyridine group is replaced with a diazoheterocycle, as denoted by the symbol W. Describing such groups as analgesics is known from D4 and D5. As a result, the present modification could be expected to lead to compounds that have similar properties to the compounds disclosed in D2.

It follows that the subject matter of the present application does not involve an inventive step and does not, therefore, fulfil the requirement of PCT Article 33(3).

However, it would be possible to recognise an inventive step if it were demonstrated, by means of comparative tests, that the present compounds have a surprising effect (i.e. that they solve a heretofore-unsolved stated problem in a surprising manner) in comparison with the compounds known from D2.